CLAIMS

1. A pyrrolesulfonamide derivative or a salt
 2 thereof, said pyrrolesulfonamide derivative being
 3 represented by the following formula (I):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$Z_1 Z_2$$
(1)

5 wherein

4

6 the ring P represented by

- means a pyrrole ring represented by the following
- 9 structure:

10
$$N b$$
 or $R-N b$

in which R represents an alkyl group, a cycloalkyl

group, a cycloalkyl-alkyl group or a substituted or un-

13 substituted aralkyl group;

the dashed line indicates the presence or absence

of a bond; and, when the bond indicated by the dashed

line is present, Z_2 is not present and Z_1 represents a

hydrogen atom but, when the bond indicated by the dashed line is absent, Z1 represents a hydrogen atom and Z_2 represents a hydroxyl group; or Z_1 and Z_2 are combined together to represent an oxygen atom or a group NOR, in which R, represents a hydrogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted aralkyl group or a substituted or un-substituted aryl group;

t represents 0 or 1;

A represents a substituted or unsubstituted alkylene group, a substituted or unsubstituted alkenylene group or a substituted or unsubstituted alkynylene group; and

Y represents a group

$$-N W - (B)_m - D$$

$$E_1 E_2$$

in which W represents CH, C= or a nitrogen atom; and, when W represents CH, m stands for 0 or 1, B represents a carbonyl group, a sulfonyl group, an alkylene group, an alkenylene group, a group $-C(OH)R_2-$ in which R_2 represents a substituted or unsubstituted aryl group, a group $-CHR_3-$ in which R_3 represents a substituted or unsubstituted or unsubstituted aryl group, or a substituted or un-

substituted cyclic or acyclic acetal group; when W represents C=, m stands for 1, B represents a group

41 <u>~</u>R,

in which the double bond is coupled with W and R₄ represents a substituted or unsubstituted aryl group or a substituted or unsubstituted aralkyl group; when W represents a nitrogen atom, m stands for 0 or 1, and B represents a carbonyl group, a sulfonyl group, an alkylene group, an alkenylene group or a group -CHR₅-in which R₅ represents a substituted or unsubstituted aryl group; E₁ and E₂ each independently represents a hydrogen atom or a lower alkyl group; and D represents a substituted or unsubstituted aromatic hydrocarbon group or a substituted or unsubstituted aromatic hydrocarbon group or a substituted or unsubstituted aromatic heterocyclic group.

- 2. A pyrrolesulfonamide derivative or a salt thereof according to claim 1, wherein in the formula (I), Z_1 represents a hydrogen atom and Z_2 represents a hydroxyl group.
- 3. A pyrrolesulfonamide derivative or a salt thereof according to claim 1, wherein in the formula (I), Z_1 and Z_2 are combined together to represent an oxygen atom or a group NOH.
- 4. A pyrrolesulfonamide derivative or a salt

- thereof according to claim 1, 2 or 3, wherein in the
- formula (I), A is a trimethylene group.
- 5. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3 or 4, wherein in the
- formula (I), W represents a nitrogen atom, m stands for
- 4 0, and D represents a substituted or unsubstituted
- 5 phenyl group.
- 1 6. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3, 4 or 5, wherein in
- 3 the formula (I), E_1 and E_2 both represent hydrogen
- 4 atoms.
- 7. A pyrrolesulfonamide derivative or a salt
- thereof according to claim 1, 2, 3, 4, 5 or 6, wherein
- in the formula (I), the ring P represents the following
- 4 formula:

5

a N

- 6 wherein R has the same meaning as defined above.
- 8. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (Ia):

$$\begin{array}{c}
O_2 \\
S-N
\end{array} A-Y$$

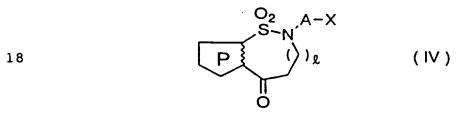
wherein A, the ring P, Y and L have the same meanings
as defined above, which comprises:

reacting a compound, which is represented by the following formula (III):

$$^{9} \qquad \qquad X-A-X' \qquad \qquad (III)$$

wherein A has the same meaning as defined above and X and X' represent the same or different eliminative groups, to a compound represented by the following formula (II):

wherein the ring P and & have the same meanings as
defined above, thereby obtaining a compound represented
by the following formula (IV):



wherein A, the ring P, X and ℓ have the same meanings

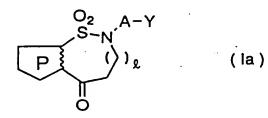
20 as defined above; and then

21 reacting a nitrogen-containing compound

represented by the following formula (V):

- wherein Y has the same meaning as defined above.
 - 9. A process for the preparation of a pyrrole-
 - 2 sulfonamide derivative represented by the following
 - 3 formula (Ia):

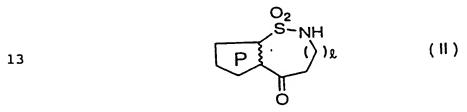
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- wherein A, the ring P, Y and & have the same meanings
- as defined above, which comprises:
- 7 reacting a compound, which is represented by the
- g following formula (VI):

$$y = x - x - y \qquad (VI)$$

- wherein A, X and Y have the same meanings as defined
- 11 above, to a compound represented by the following for-
- 12 mula (II):



wherein the ring P and & have the same meanings as

- 15 defined above.
 - 1 10. A process for the preparation of a pyrrole-
 - 2 sulfonamide derivative represented by the following
 - 3 formula (Ic):

4
$$P \qquad (lc)$$
NOR₁

$$(lc)$$

- 5 wherein A, the ring P, R_1 and ℓ have the same meanings
- as defined above, and Y' represents a group

7
$$-NW-(B')_m-D$$

- in which when W represents CH, B' represents a sulfonyl
- group, an alkylene group, an alkenylene group, a group
- 10 -C(OH)R2- in which R2 represents a substituted or un-
- 11 substituted aryl group, a group -CHR3- in which R3
- represents a substituted or unsubstituted aryl group,
- or a substituted or unsubstituted cyclic or acyclic
- acetal group; when W represents C=, B' represents a
- 15 group

in which the double bond is coupled with W and R_4

18 represents a substituted or unsubstituted aryl group or

19 a substituted or unsubstituted aralkyl group; when W

20 represents a nitrogen atom, B' represents a carbonyl

group, a sulfonyl group, an alkylene group, an

22 alkenylene group or a group -CHR5- in which R5

23 represents a substituted or unsubstituted aryl group;

24 and D, E_1 , E_2 and m have the same meanings as defined

25 above, which comprises:

reacting a hydroxylamine or a derivative thereof,

27 which is represented by the following formula (VII):

$$NH_2OR_1$$
 (VII)

wherein R_1 has the same meaning as defined above, with

30 a pyrrolesulfonamide derivative represented by the fol-

31 lowing formula (Ib):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$A-Y'$$

$$O_2 \\
O_3$$

$$O_4$$

$$O_4$$

$$O_4$$

$$O_4$$

$$O_5$$

$$O_6$$

$$O_7$$

$$O_8$$

wherein A, the ring P, Y' and & have the same meanings

34 as defined above.

1 11. A process for the preparation of a pyrrole-

sulfonamide derivative represented by the following

3 formula (Id):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-Y' \\
OH
\end{array}$$
(Id)

- wherein A, the ring P, Y' and & have the same meanings
- as defined above, which comprises:
- 7 reducing a pyrrolesulfonamide derivative
- 8 represented by the following formula (Ib):

$$\begin{array}{c}
O_2 \\
S-N
\end{array} A-Y'$$

- wherein A, the ring P, Y' and ℓ have the same meanings
- 11 as defined above.
 - 1 12. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (Ie):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
A-Y \\
O_2
\end{array}$$

- 5 wherein A, the ring P, R_1 , Y and ℓ have the same mean-
- 6 ings as defined above, which comprises:
- 7 reacting a hydroxylamine or a derivative thereof,
- 8 which is represented by the following formula (VII):

9 NH₂OR₁ (VII)

10 wherein R_1 has the same meaning as defined above, to a

11 compound represented by the following formula (IV):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$A-X$$

$$O_2 \\
O$$

$$O$$

$$O$$

$$O$$

$$O$$

wherein A, the ring P, X and & have the same meanings

14 as defined above, thereby obtaining a compound

represented by the following formula (VIII):

16
$$P = \begin{pmatrix} O_2 \\ S_{-N} \\ A - X \\ O_2 \\ O_1 \\ O_2 \\ O_2 \\ O_3 \\ O_4 \\ O_4 \\ O_4 \\ O_4 \\ O_5 \\ O_6 \\ O_7 \\ O_8 \\ O_$$

wherein A, the ring P, R_1 , X and ℓ have the same mean-

18 ings as defined above; and then

19 reacting a nitrogen-containing compound

20 represented by the following formula (V):

$$H-Y$$
 (V)

wherein Y has the same meaning as defined above.

13. A process for the preparation of a pyrrole-

sulfonamide derivative represented by the following

3 formula (If):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

$$\begin{array}{c}
O_2 \\
OH
\end{array}$$

5 wherein A, the ring P, Y and & have the same meanings

6 as defined above, which comprises:

7 reducing a compound represented by the following

8 formula (IV):

9

$$\begin{array}{c|c}
O_2 & A-X \\
S-N & O_2
\end{array}$$

wherein A, the ring P, X and ℓ have the same meanings

11 as defined above, thereby obtaining a compound

12 represented by the following formula (IX):

$$\begin{array}{c}
O_2 \\
S-N
\end{array} A-X$$

$$OH$$
(IX)

wherein A, the ring P, X and ℓ have the same meanings

15 as defined above; and then

16 reacting a nitrogen-containing compound

17 represented by the following formula (V):

$$H-Y$$
 (V)

wherein Y has the same meaning as defined above.

- 1 14. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (Ig):

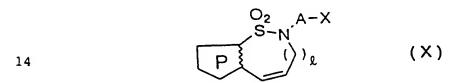
- 5 wherein A, the ring P, Y and ℓ have the same meanings
- 6 as defined above, which comprises:
- 3 subjecting a compound, which is represented by
- 8 the following formula (IX):

$$\begin{array}{c}
O_2 \\
S-N
\end{array} A-X$$

$$OH$$

$$OH$$

- wherein A, the ring P, X and & have the same meanings
- 11 as defined above, to dehydration treatment, thereby ob-
- 12 taining a compound represented by the following formula
- 13 (X):



wherein A, the ring P, X and & have the same meanings

16 as defined above; and then

17 reacting a nitrogen-containing compound

18 represented by the following formula (V):

$$H-Y \qquad (V)$$

20 wherein Y has the same meaning as defined above.

1 15. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (Ig):

$$\begin{array}{cccc}
O_2 & A - Y \\
S - N & 1
\end{array}$$

wherein A, the ring P, Y and ℓ have the same meanings

6 as defined above, which comprises:

5 subjecting a compound, which is represented by

8 the following formula (If):

$$\begin{array}{c}
O_2 \\
S-N
\end{array}$$

$$\begin{array}{c}
O_2\\
OH
\end{array}$$
(If)

wherein A, the ring P, Y and & have the same meanings

11 as defined above, to dehydration treatment.

1 16. A compound represented by the following for-

2 mula (II):

- 4 wherein the ring P and ℓ have the same meanings as
- 5 defined above.
- 1 17. A compound represented by the following for-
- 2 mula (XI):

$$\begin{array}{c}
O_2 & A-X \\
S-N & O_2
\end{array}$$

$$Z_1 & Z_2
\end{array}$$

- 4 wherein the dashed line, A, the ring P, X, Z_1 , Z_2 and
- 5 \(\ell \) have the same meanings as defined above.
- 1 18. A process for the preparation of a pyrrole-
- 2 sulfonamide derivative represented by the following
- 3 formula (IIa) or (IIa'):

4
$$O_2$$
 $S-NH$
 $R-N$
 O_2
 $S-NH$
 O_2
 $S-NH$
 O_3
 O_4
 O_2
 O_4
 O_2
 O_2
 O_3
 O_4
 O_4
 O_4
 O_4
 O_5
 O_4
 O_5
 O_6
 O_7
 O_8
 O_8
 O_9
 O_9

- 5 wherein R and ℓ have the same meanings as defined
- 6 above, which comprises:
- 7 converting a 1-substituted-pyrrole-3-sulfonic

8 acid or a salt thereof, which is represented by the

9 following formula (XII):

$$\left(\begin{array}{c} \\ \\ \\ \\ \\ \\ \\ \\ \end{array}\right)_{p} \cdot M \cdot (H_{2}O)_{q}$$

(XII)

11 wherein M represents a hydrogen ion, an alkali metal

12 ion, an alkaline earth metal ion or a quaternary am-

monium ion, p stands for 1 when M represents a hydrogen

ion, an alkali metal ion or a quaternary ammonium ion

or p stands for 2 when M represents an alkaline earth

metal ion, q stands for 0 or 1, and R has the same

meaning as defined above, into a compound represented

18 by the following formula (XIII):

20 wherein X" represents a chlorine atom or a bromine

21 atom;

17

22 causing glycine or β -alanine or a derivative

thereof, which is represented by the following formula

24 (XIV):

 $NH_2(CH_2), CH_2COOR_6$ (XIV)

wherein R₆ represents a hydrogen atom or a carboxyl-

27 protecting group, to act, thereby obtaining a compound

28 represented by the following formula (XV):

$$\begin{array}{c|c}
O_2 \\
S-NH \\
\downarrow O_2 \\
O OR_6
\end{array}$$
(XV)

30 wherein R, R_6 and ℓ have the same meanings as defined

31 above; and then

32 subjecting said compound to ring closure.

1 19. A process for the preparation of a pyrrole-

2 sulfonamide derivative represented by the following

3 formula (IIa) or (IIa'):

$$\begin{array}{c} O_2 \\ S-NH \\ \downarrow \\ R \end{array}$$
(IIa)
$$\begin{array}{c} O_2 \\ S-NH \\ R \end{array}$$
(IIa')

5 wherein R and & have the same meanings as defined

6 above, which comprises:

7 reacting a compound, which is represented by the

8 formula (XVIa) or (XVIb):

9 R-X''' (XVIa) $(RO)_2SO_2$ (XVIb)

wherein X"' represents an eliminative group and R has

the same meaning as defined above, with a compound

represented by the following formula (IIb) or (IIb'):

13
$$\begin{array}{c}
O_2 \\
S-NH \\
N \\
N
\end{array}$$
(IIb)
$$\begin{array}{c}
O_2 \\
S-NH \\
N
\end{array}$$
(IIb')

wherein & has the same meaning as defined above.

1 20. A compound represented by the following for-

2 mula (XV):

$$\begin{array}{c|c}
O_2 \\
N \\
N \\
COOR_6
\end{array} (XV)$$

4 wherein R, R_6 and ℓ have the same meanings as defined

5 above.

1 21. A compound represented by the following for-

2 nula (XII):

$$\left(\begin{array}{c}
 & \text{No.} \\
 & \text{No.} \\
 & \text{No.}
\end{array}\right)_{p} \cdot M \cdot (H_{2}O)_{q}$$

(XII)

wherein M, R, p and q have the same meanings as defined

5 above.

1 22. A compound according to claim 21, wherein in

the formula (XII), R is a methyl group, M is a sodium

3 ion, p is 1, and q is 0 or 1.

- 1 23. A process for the preparation of a
- 2 1-substituted-pyrrole-3-sulfonic acid or a salt there-
- of, which comprises treating a 1-substituted-pyrrole
- 4 with sulfur trioxide pyridine complex.
- 1 24. A process for the preparation of a compound
- 2 represented by the following formula (XII):

(XII)

- wherein M, R, p and q have the same meanings as defined
- above, which comprises treating a compound, which is
- 6 represented by the following formula (XVII):

- 8 wherein R has the same meaning as defined above, with
- 9 trimethylsilyl chlorosulfonate, followed by alkali
- 10 hydrolysis.

- 1 25. A pharmaceutical comprising, as an effective
- 2 ingredient, a pyrrolesulfonamide derivative or a salt
- 3 thereof according to claim 1.
- 26. A therapeutic for circulatory diseases, com-
- 2 prising as an effective ingredient a pyrrolesulfonamide
- 3 derivative or a salt thereof according to claim 1.

- 1 27. A serotonin-2 receptor antagonist, comprising
- 2 as an effective ingredient a pyrrolesulfonamide deriva-
- 3 tive or a salt thereof according to claim 1.